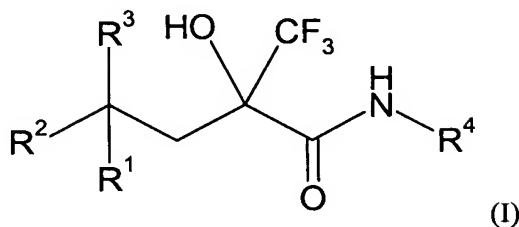


# CLAIMS

We Claim:

1. A compound having the following Formula (I):



wherein:

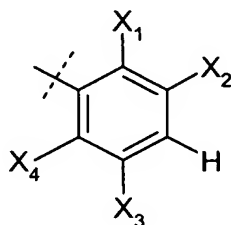
$R^1$  is an aryl or heteroaryl group, each optionally independently substituted with one to three substituent groups,

10  
 wherein each substituent group of  $R^1$  is independently  $C_1$ - $C_5$  alkyl,  $C_2$ - $C_5$  alkenyl,  $C_2$ - $C_5$  alkynyl,  $C_3$ - $C_8$  cycloalkyl, aryl,  $C_1$ - $C_5$  alkoxy, aryloxy,  $C_1$ - $C_5$  alkanoyl, aroyl,  $C_1$ - $C_5$  alkoxycarbonyl,  $C_1$ - $C_5$  alkanoyloxy, aminocarbonyloxy,  $C_1$ - $C_5$  alkylaminocarbonyloxy,  $C_1$ - $C_5$  dialkylaminocarbonyloxy, aminocarbonyl,  $C_1$ - $C_5$  alkylaminocarbonyl,  $C_1$ - $C_5$  dialkylaminocarbonyl,  $C_1$ - $C_5$  alkanoylamino,  $C_1$ - $C_5$  alkoxycarbonylamino,  $C_1$ - $C_5$  alkylsulfonylamino,  $C_1$ - $C_5$  alkylaminosulfonyl,  $C_1$ - $C_5$  dialkylaminosulfonyl, halogen, hydroxy, carboxy, cyano, trifluoromethyl, nitro, amino wherein the nitrogen atom is optionally independently mono- or di-substituted by  $C_1$ - $C_5$  alkyl or aryl; or ureido wherein either nitrogen atom is  
 20 optionally independently substituted with  $C_1$ - $C_5$  alkyl; or  $C_1$ - $C_5$  alkylthio wherein the sulfur atom is optionally oxidized to a sulfoxide or sulfone,

25  
 wherein each substituent group of  $R^1$  is optionally independently substituted with one to three substituent groups selected from methyl, halogen, hydroxy, oxo, cyano, trifluoromethyl, and amino;

$R^2$  and  $R^3$  are each independently hydrogen or  $C_1$ - $C_5$  alkyl, or  $R^2$  and  $R^3$  together with the carbon atom they are commonly attached to form a  $C_3$ - $C_8$  spiro cycloalkyl ring;

$R^4$  is an optionally substituted phenyl of the following formula:



5

wherein  $X_1$ ,  $X_2$ ,  $X_3$  and  $X_4$  are each independently selected from hydrogen, halogen, hydroxy, trifluoromethyl, trifluoromethoxy,  $C_{1-5}$  alkyl,  $C_{2-5}$  alkenyl,  $C_{2-5}$  alkynyl,  $C_{1-5}$  alkoxy,  $C_{1-5}$  alkylthio wherein the sulfur atom is optionally oxidized to a sulfoxide or sulfone,  $C_{1-5}$  alkanoyl,  $C_{1-5}$  alkoxycarbonyl,  $C_{1-5}$  acyloxy,  $C_{1-5}$  alkanoylamino,  $C_{1-5}$  carbamoyloxy, urea, aryl and amino wherein the nitrogen atom may be independently mono- or di-substituted by  $C_{1-5}$  alkyl, and wherein said aryl group is optionally substituted by one or more hydroxy or  $C_{1-5}$  alkoxy groups, and wherein either nitrogen atom of the urea group may be independently substituted by  $C_{1-5}$  alkyl;

15

or  $R^4$  is an aromatic 5- to 7-membered monocyclic ring having from one to four heteroatoms in the ring independently selected from nitrogen, oxygen, and sulfur,

optionally independently substituted with one to three substituent groups selected from:

hydrogen, halogen, hydroxy, trifluoromethyl, trifluoromethoxy,  $C_{1-5}$  alkyl,  $C_{2-5}$  alkenyl,  $C_{2-5}$  alkynyl,  $C_{1-5}$  alkoxy,  $C_{1-5}$  alkylthio wherein the sulfur atom is optionally oxidized to a sulfoxide or sulfone,  $C_{1-5}$  alkanoyl,  $C_{1-5}$  alkoxycarbonyl,  $C_{1-5}$  acyloxy,  $C_{1-5}$  alkanoylamino,  $C_{1-5}$  carbamoyloxy, urea, aryl and amino wherein the nitrogen atom may be independently mono- or di-substituted by  $C_{1-5}$  alkyl, and wherein said aryl group is optionally substituted by one or more hydroxy or  $C_{1-5}$  alkoxy groups, and wherein either nitrogen atom of the urea group may be independently substituted by  $C_{1-5}$  alkyl;

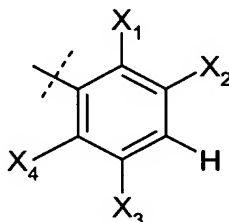
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or a tautomer, prodrug, solvate, or salt thereof.

2. A compound of Formula (I) according to claim 1, wherein:

$R^1$ ,  $R^2$  and  $R^3$  are as defined in claim 1; and

$R^4$  is an optionally substituted phenyl of the following formula:



5

wherein  $X_1$ ,  $X_2$ ,  $X_3$  and  $X_4$  are each independently selected from hydrogen, halogen, hydroxy, trifluoromethyl, trifluoromethoxy,  $C_{1-5}$  alkyl,  $C_{2-5}$  alkenyl,  $C_{2-5}$  alkynyl,  $C_{1-5}$  alkoxy,  $C_{1-5}$  alkylthio wherein the sulfur atom is optionally oxidized to a sulfoxide or sulfone,  $C_{1-5}$  alkanoyl,  $C_{1-5}$  alkoxycarbonyl,  $C_{1-5}$  acyloxy,  $C_{1-5}$  alkanoylamino,  $C_{1-5}$  carbamoyloxy, urea, aryl and amino wherein the nitrogen atom may be independently mono- or di-substituted by  $C_{1-5}$  alkyl, and wherein said aryl group is optionally substituted by one or more hydroxy or  $C_{1-5}$  alkoxy groups, and wherein either nitrogen atom of the urea group may be independently substituted by  $C_{1-5}$  alkyl;

15

or a tautomer, prodrug, solvate, or salt thereof.

3 A compound of Formula (I) according to claim 1, wherein:

20  $R^1$  is phenyl, naphthyl, indanyl, indenyl, dihydrobenzofuranyl, dihydroindolyl, dihydroquinoliny, dihydroisoquinoliny, tetrahydroquinoliny, tetrahydroisoquinoliny; thienyl, furanyl, pyrrolyl, pyridinyl, pyrimidinyl, pyrazinyl, indolyl, benzofuranyl, or benzothienyl, each optionally independently substituted with one to three substituent groups,

25

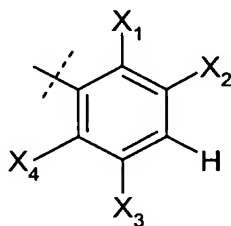
wherein each substituent group of  $R^1$  is independently  $C_1$ - $C_3$  alkyl,  $C_2$ - $C_3$  alkenyl,  $C_2$ - $C_3$  alkynyl,  $C_1$ - $C_3$  alkoxy,  $C_1$ - $C_3$  alkanoyl,  $C_1$ - $C_3$  alkanoylamino, halogen,

hydroxy, cyano, trifluoromethyl, amino wherein the nitrogen atom is optionally independently mono- or di-substituted by C<sub>1</sub>-C<sub>3</sub> alkyl; or C<sub>1</sub>-C<sub>3</sub> alkylthio wherein the sulfur atom is optionally oxidized to a sulfoxide or sulfone,

- 5                wherein each substituent group of R<sup>1</sup> is optionally independently substituted with one to three substituent groups selected from methyl, fluoro, chloro, bromo, hydroxy, oxo, cyano, trifluoromethyl, and amino;

- R<sup>2</sup> and R<sup>3</sup> are each independently C<sub>1</sub>-C<sub>3</sub> alkyl, or R<sup>2</sup> and R<sup>3</sup> together with the carbon atom  
10            they are commonly attached to form a C<sub>3</sub>-C<sub>6</sub> spiro cycloalkyl ring;  
and

R<sup>4</sup>        is an optionally substituted phenyl of the following formula:



- 15        wherein X<sub>1</sub>, X<sub>2</sub>, X<sub>3</sub> and X<sub>4</sub> are each independently selected from hydrogen, halogen, trifluoromethyl, C<sub>1-5</sub> alkyl and C<sub>1-5</sub> alkoxy;

or a tautomer, prodrug, solvate, or salt thereof.

- 20        4.        A compound of Formula (I) according to claim 1, wherein:

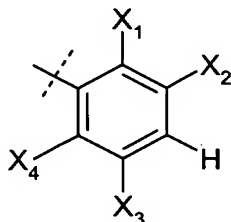
R<sup>1</sup> is phenyl, pyridyl, dihydrobenzofuranyl, or benzofuranyl, each optionally independently substituted with one or two substituent groups,

- 25                wherein each substituent group of R<sup>1</sup> is independently methyl, ethyl, methoxy, ethoxy, fluoro, chloro, bromo, hydroxy, trifluoromethyl, or cyano;

$R^2$  and  $R^3$  are each independently methyl, or  $R^2$  and  $R^3$  together with the carbon atom they are commonly attached to form a spiro cyclopropyl ring;

and

$R^4$  is an optionally substituted phenyl of the following formula:



5

wherein  $X_1$ ,  $X_2$ ,  $X_3$  and  $X_4$  are each independently selected from hydrogen, halogen, methyl, methoxy and trifluoromethyl;

or a tautomer, prodrug, solvate, or salt thereof.

10

5. A compound of Formula (I) according to claim 1, wherein:

$R^1$  is phenyl substituted with one or two substituent groups,

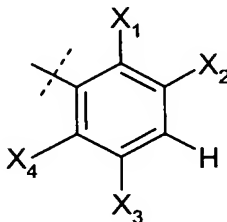
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wherein each substituent group of  $R^1$  is independently methoxy, fluoro, chloro, bromo or hydroxy;

$R^2$  and  $R^3$  are each independently  $C_1$ - $C_3$  alkyl;

20

$R^4$  is an optionally substituted phenyl of the following formula:



wherein  $X_1$  and  $X_4$  are each hydrogen, and  $X_2$  and  $X_3$  are each independently selected from halogen, methyl and trifluoromethyl;

or a tautomer, prodrug, solvate, or salt thereof.

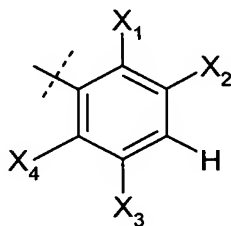
- 5      6.      A compound of Formula (I) according to claim 1, wherein:

$R^1$  is phenyl substituted with a methoxy group and a fluoro, or is a phenyl substituted with a hydroxy group and a fluoro,

- 10       $R^2$  and  $R^3$  are each independently methyl;

and

$R^4$  is an optionally substituted phenyl of the following formula:



- 15      wherein X<sub>1</sub> and X<sub>4</sub> are each hydrogen, and X<sub>2</sub> and X<sub>3</sub> are each independently selected from halogen and methyl;

or a tautomer, prodrug, solvate, or salt thereof.

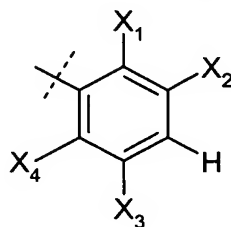
- 20      7.      A compound of Formula (I) according to claim 1, wherein:

$R^1$  is phenyl substituted with one or two substituent groups,

wherein each substituent group of  $R^1$  is independently methoxy, fluoro, chloro, bromo or hydroxy;

- 25       $R^2$  and  $R^3$  are each independently C<sub>1</sub>-C<sub>3</sub> alkyl;

R<sup>4</sup> is an optionally substituted phenyl of the following formula:



wherein:

- 5 (I) X<sub>2</sub> and X<sub>4</sub> are each hydrogen, and X<sub>1</sub> and X<sub>3</sub> are each independently selected from halogen, methyl and trifluoromethyl;

or (II) X<sub>3</sub> and X<sub>4</sub> are each hydrogen, and X<sub>1</sub> and X<sub>2</sub> are each independently selected from halogen, methyl and trifluoromethyl;

10

or a tautomer, prodrug, solvate, or salt thereof.

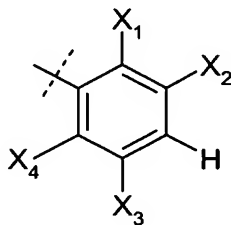
8. A compound of Formula (I) according to claim 1, wherein:

- 15 R<sup>1</sup> is phenyl substituted with a methoxy group and a fluoro, or is a phenyl substituted with a hydroxy group and a fluoro,

R<sup>2</sup> and R<sup>3</sup> are each independently methyl;

and

- 20 R<sup>4</sup> is an optionally substituted phenyl of the following formula:



wherein:

(I) X<sub>2</sub> and X<sub>4</sub> are each hydrogen, and X<sub>1</sub> and X<sub>3</sub> are each independently selected from halogen and methyl;

or (II) X<sub>3</sub> and X<sub>4</sub> are each hydrogen, and X<sub>1</sub> and X<sub>2</sub> are each independently selected  
5 from halogen and methyl;

or a tautomer, prodrug, solvate, or salt thereof.

10 9. A compound of Formula (I) according to claim 1, wherein:  
R<sup>1</sup>, R<sup>2</sup> and R<sup>3</sup> are as defined in claim 1; and

R<sup>4</sup> is an aromatic 5- to 7-membered monocyclic ring having from one to four heteroatoms in the ring independently selected from nitrogen, oxygen, and sulfur, optionally  
15 independently substituted with one to three substituent groups selected from: hydrogen, halogen, hydroxy, trifluoromethyl, trifluoromethoxy, C<sub>1-5</sub> alkyl, C<sub>2-5</sub> alkenyl, C<sub>2-5</sub> alkynyl, C<sub>1-5</sub> alkoxy, C<sub>1-5</sub> alkylthio wherein the sulfur atom is optionally oxidized to a sulfoxide or sulfone, C<sub>1-5</sub> alkanoyl, C<sub>1-5</sub> alkoxycarbonyl, C<sub>1-5</sub> acyloxy, C<sub>1-5</sub> alkanoylamino, C<sub>1-5</sub> carbamoyloxy, urea, aryl and amino wherein the nitrogen atom may be independently  
20 mono- or di-substituted by C<sub>1-5</sub> alkyl, and wherein said aryl group is optionally substituted by one or more hydroxy or C<sub>1-5</sub> alkoxy groups, and wherein either nitrogen atom of the urea group may be independently substituted by C<sub>1-5</sub> alkyl;

or a tautomer, prodrug, solvate, or salt thereof.

25

10. A compound of Formula (I) according to claim 1, wherein:

R<sup>1</sup> is phenyl, naphthyl, indanyl, indenyl, dihydrobenzofuranyl, dihydroindolyl,  
dihydroquinoliny, dihydroisoquinoliny, tetrahydroquinoliny,  
30 tetrahydroisoquinoliny, thienyl, furanyl, pyrrolyl, pyridinyl, pyrimidinyl, pyrazinyl,



indolyl, benzofuranyl, or benzothienyl, each optionally independently substituted with one to three substituent groups,

5        wherein each substituent group of  $R^1$  is independently  $C_1$ - $C_3$  alkyl,  $C_2$ - $C_3$  alkenyl,  $C_2$ - $C_3$  alkynyl,  $C_1$ - $C_3$  alkoxy,  $C_1$ - $C_3$  alkanoyl,  $C_1$ - $C_3$  alkanoylamino, halogen, hydroxy, cyano, trifluoromethyl, amino wherein the nitrogen atom is optionally independently mono- or di-substituted by  $C_1$ - $C_3$  alkyl; or  $C_1$ - $C_3$  alkylthio wherein the sulfur atom is optionally oxidized to a sulfoxide or sulfone,

10        wherein each substituent group of  $R^1$  is optionally independently substituted with one to three substituent groups selected from methyl, fluoro, chloro, bromo, hydroxy, oxo, cyano, trifluoromethyl, and amino;

15         $R^2$  and  $R^3$  are each independently  $C_1$ - $C_3$  alkyl, or  $R^2$  and  $R^3$  together with the carbon atom they are commonly attached to form a  $C_3$ - $C_6$  spiro cycloalkyl ring;

and

20         $R^4$  is a heteroaryl group selected from: pyrrolyl, pyrazolyl, furanyl, thienyl, oxazolyl, isoxazolyl, thiazolyl, isothiazolyl, oxadiazolyl, thiadiazolyl, pyridinyl, pyridazinyl, pyrimidinyl and pyrazinyl, each optionally independently substituted by one to three substituent groups selected from: hydrogen, halogen, trifluoromethyl,  $C_{1-5}$  alkyl,  $C_{1-5}$  alkoxy; and phenyl optionally substituted by one or more hydroxy or methoxy groups;

or a tautomer, prodrug, solvate, or salt thereof.

25        11. A compound of Formula (I) according to claim 1, wherein:

$R^1$  is phenyl, pyridyl, dihydrobenzofuranyl, or benzofuranyl, each optionally independently substituted with one or two substituent groups,

30        wherein each substituent group of  $R^1$  is independently methyl, ethyl, methoxy, ethoxy, fluoro, chloro, bromo, hydroxy, trifluoromethyl, or cyano;

$R^2$  and  $R^3$  are each independently methyl, or  $R^2$  and  $R^3$  together with the carbon atom they are commonly attached to form a spiro cyclopropyl ring;

and

5

$R^4$  is a heteroaryl group selected from: oxazolyl, isoxazolyl, thiazolyl, thiadiazolyl, pyridinyl, and pyrimidinyl, each optionally independently substituted by one to three substituent groups selected from: halogen, methyl, methoxy and trifluoromethyl;

10 or a tautomer, prodrug, solvate, or salt thereof.

12. A compound of Formula (I) according to claim 1, wherein:

$R^1$  is phenyl substituted with one or two substituent groups,

15

wherein each substituent group of  $R^1$  is independently methoxy, fluoro, chloro, bromo or hydroxy;

$R^2$  and  $R^3$  are each independently  $C_1$ - $C_3$  alkyl; and

20

$R^4$  is a heteroaryl group selected from: pyridinyl and pyrimidinyl, each independently substituted by one to three substituent groups selected from: halogen, methyl and trifluoromethyl;

or a tautomer, prodrug, solvate, or salt thereof.

25

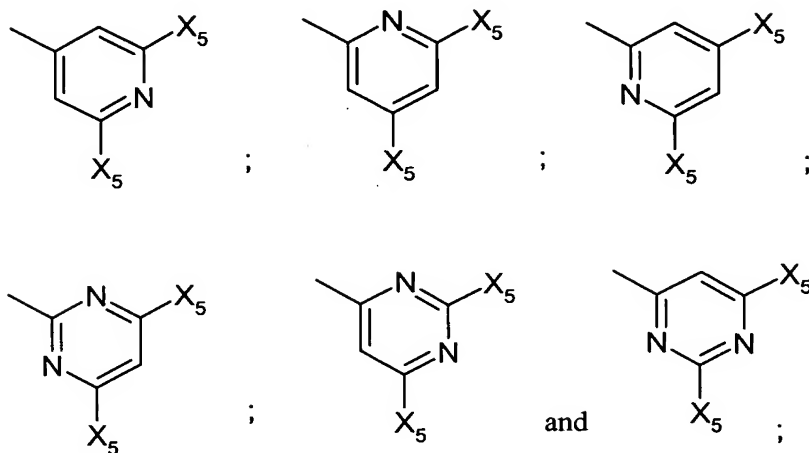
13. A compound of Formula (I) according to claim 1, wherein:

$R^1$  is phenyl substituted with a methoxy group and a fluoro, or is a phenyl substituted with a hydroxy group and a fluoro,

30

$R^2$  and  $R^3$  are each independently methyl; and

R<sup>4</sup> is a heteroaryl group selected from the following groups:



5 wherein X<sub>5</sub> is a halogen or methyl;

or a tautomer, prodrug, solvate, or salt thereof.

14. A compound selected from:

4-(5-Fluoro-2-methoxy-phenyl)-2-hydroxy-4-methyl-2-trifluoromethyl-pentanoic acid  
(2-amino-phenyl)-amide,

4-(5-Fluoro-2-methoxy-phenyl)-2-hydroxy-4-methyl-2-trifluoromethyl-pentanoic acid  
(2-acetylamino-phenyl)-amide,

2-Hydroxy-4-methyl-4-phenyl-2-trifluoromethyl-pentanoic acid phenylamide,

4-(5-Fluoro-2-hydroxy-phenyl)-2-hydroxy-4-methyl-2-trifluoromethyl-pentanoic acid  
pyridin-3-ylamide,

4-(5-Fluoro-2-hydroxy-phenyl)-2-hydroxy-4-methyl-2-trifluoromethyl-pentanoic acid  
(5-methyl-isoxazol-3-yl)-amide,

4-(5-Fluoro-2-methoxy-phenyl)-2-hydroxy-4-methyl-2-trifluoromethyl-pentanoic acid  
(3-methoxy-phenyl)-amide,

4-(5-Fluoro-2-hydroxy-phenyl)-2-hydroxy-4-methyl-2-trifluoromethyl-pentanoic acid  
pyrimidin-4-ylamide,

4-(5-Fluoro-2-methoxy-phenyl)-2-hydroxy-4-methyl-2-trifluoromethyl-pentanoic acid  
phenylamide,

4-(5-Fluoro-2-hydroxy-phenyl)-2-hydroxy-4-methyl-2-trifluoromethyl-pentanoic acid  
phenylamide,

2-Benzyloxy-4-(5-fluoro-2-methoxy-phenyl)-4-methyl-2-trifluoromethyl-pentanoic acid  
[4-(3,4-dimethoxy-phenyl)-thiazol-2-yl]-amide,

4-(5-Fluoro-2-hydroxy-phenyl)-2-hydroxy-4-methyl-2-trifluoromethyl-pentanoic acid  
[4-(2-hydroxy-phenyl)-thiazol-2-yl]-amide,

4-(5-Fluoro-2-hydroxy-phenyl)-2-hydroxy-4-methyl-2-trifluoromethyl-pentanoic acid  
[4-(4-hydroxy-phenyl)-thiazol-2-yl]-amide,

4-(5-Fluoro-2-hydroxy-phenyl)-2-hydroxy-4-methyl-2-trifluoromethyl-pentanoic acid  
[4-(2,4-dihydroxy-phenyl)-thiazol-2-yl]-amide,

4-(5-Fluoro-2-hydroxy-phenyl)-2-hydroxy-4-methyl-2-trifluoromethyl-pentanoic acid  
[1,3,4]thiadiazol-2-ylamide,

4-(5-Fluoro-2-hydroxy-phenyl)-2-hydroxy-4-methyl-2-trifluoromethyl-pentanoic acid  
(3,5-dichloro-phenyl)-amide,

6-[4-(5-Fluoro-2-methoxy-phenyl)-2-hydroxy-4-methyl-2-trifluoromethyl-  
pentanoylamino]-nicotinic acid methyl ester,

4-(5-Fluoro-2-hydroxy-phenyl)-2-hydroxy-4-methyl-2-trifluoromethyl-pentanoic acid  
(3-chloro-phenyl)-amide,

4-(5-Fluoro-2-hydroxy-phenyl)-2-hydroxy-4-methyl-2-trifluoromethyl-pentanoic acid  
(3,5-dihydroxy-phenyl)-amide,

4-(5-Fluoro-2-methoxy-phenyl)-2-hydroxy-4-methyl-2-trifluoromethyl-pentanoic acid  
(3,5-dimethoxy-phenyl)-amide,

4-(5-Fluoro-2-hydroxy-phenyl)-2-hydroxy-4-methyl-2-trifluoromethyl-pentanoic acid  
(2-chloro-phenyl)-amide,

4-(5-Fluoro-2-hydroxy-phenyl)-2-hydroxy-4-methyl-2-trifluoromethyl-pentanoic acid  
(2,6-dichloro-pyrimidin-4-yl)-amide,

4-(5-Fluoro-2-hydroxy-phenyl)-2-hydroxy-4-methyl-2-trifluoromethyl-pentanoic acid  
(2,6-dichloro-pyridin-4-yl)-amide,

4-(5-Fluoro-2-hydroxy-phenyl)-2-hydroxy-4-methyl-2-trifluoromethyl-pentanoic acid  
(2,3-dichloro-phenyl)-amide,

4-(5-Fluoro-2-hydroxy-phenyl)-2-hydroxy-4-methyl-2-trifluoromethyl-pentanoic acid  
(3,5-dimethyl-phenyl)-amide,

4-(5-Fluoro-2-hydroxy-phenyl)-2-hydroxy-4-methyl-2-trifluoromethyl-pentanoic (3,5-bis-trifluoromethyl-phenyl)-amide,	acid
4-(5-Fluoro-2-hydroxy-phenyl)-2-hydroxy-4-methyl-2-trifluoromethyl-pentanoic (2,5-dichloro-phenyl)-amide,	acid
4-(5-Fluoro-2-hydroxy-phenyl)-2-hydroxy-4-methyl-2-trifluoromethyl-pentanoic (2,6-dichloro-phenyl)-amide,	acid
4-(5-Fluoro-2-hydroxy-phenyl)-2-hydroxy-4-methyl-2-trifluoromethyl-pentanoic (3-bromo-phenyl)-amide,	acid
4-(5-Fluoro-2-hydroxy-phenyl)-2-hydroxy-4-methyl-2-trifluoromethyl-pentanoic (4,6-dichloro-pyrimidin-2-yl)-amide,	acid
4-(5-Fluoro-2-hydroxy-phenyl)-2-hydroxy-4-methyl-2-trifluoromethyl-pentanoic biphenyl-3-ylamide,	acid
4-(5-Fluoro-2-hydroxy-phenyl)-2-hydroxy-4-methyl-2-trifluoromethyl-pentanoic (3-fluoro-phenyl)-amide,	acid
4-(5-Fluoro-2-hydroxy-phenyl)-2-hydroxy-4-methyl-2-trifluoromethyl-pentanoic (3,5-difluoro-phenyl)-amide,	acid
4-(5-Fluoro-2-hydroxy-phenyl)-2-hydroxy-4-methyl-2-trifluoromethyl-pentanoic (3,5-dibromo-phenyl)-amide,	acid
4-(5-Fluoro-2-methoxy-phenyl)-2-hydroxy-4-methyl-2-trifluoromethyl-pentanoic (3, 5-dimethyl-phenyl)-amide,	acid

or a tautomer, prodrug, solvate, or salt thereof.

15. A compound selected from:

4-(5-Fluoro-2-hydroxy-phenyl)-2-hydroxy-4-methyl-2-trifluoromethyl-pentanoic acid (3,5-dichloro-phenyl)-amide,

4-(5-Fluoro-2-hydroxy-phenyl)-2-hydroxy-4-methyl-2-trifluoromethyl-pentanoic acid (3-chloro-phenyl)-amide,

4-(5-Fluoro-2-hydroxy-phenyl)-2-hydroxy-4-methyl-2-trifluoromethyl-pentanoic acid (2-chloro-phenyl)-amide,

4-(5-Fluoro-2-hydroxy-phenyl)-2-hydroxy-4-methyl-2-trifluoromethyl-pentanoic acid (2,6-dichloro-pyrimidin-4-yl)-amide,

4-(5-Fluoro-2-hydroxy-phenyl)-2-hydroxy-4-methyl-2-trifluoromethyl-pentanoic acid (2,6-dichloro-pyridin-4-yl)-amide,

4-(5-Fluoro-2-hydroxy-phenyl)-2-hydroxy-4-methyl-2-trifluoromethyl-pentanoic acid (2,3-dichloro-phenyl)-amide,

4-(5-Fluoro-2-hydroxy-phenyl)-2-hydroxy-4-methyl-2-trifluoromethyl-pentanoic acid (3,5-dimethyl-phenyl)-amide,

4-(5-Fluoro-2-hydroxy-phenyl)-2-hydroxy-4-methyl-2-trifluoromethyl-pentanoic acid (3,5-bis-trifluoromethyl-phenyl)-amide,

4-(5-Fluoro-2-hydroxy-phenyl)-2-hydroxy-4-methyl-2-trifluoromethyl-pentanoic acid (2,5-

dichloro-phenyl)-amide,

4-(5-Fluoro-2-hydroxy-phenyl)-2-hydroxy-4-methyl-2-trifluoromethyl-pentanoic acid (3-bromo-phenyl)-amide,

4-(5-Fluoro-2-hydroxy-phenyl)-2-hydroxy-4-methyl-2-trifluoromethyl-pentanoic acid (3,5-difluoro-phenyl)-amide,

4-(5-Fluoro-2-hydroxy-phenyl)-2-hydroxy-4-methyl-2-trifluoromethyl-pentanoic acid (3,5-dibromo-phenyl)-amide,

or a tautomer, prodrug, solvate, or salt thereof.

16. A pharmaceutical composition comprising an effective amount of a compound according to claim 1, or a tautomer, prodrug, solvate, or salt thereof, and a pharmaceutically acceptable excipient or carrier.

17. A method of modulating the glucocorticoid receptor function in a patient, the method comprising administering to the patient an effective amount of a pharmaceutically acceptable compound according to claim 1, or a tautomer, prodrug, solvate, or salt thereof.

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18. A method of treating a disease-state or condition mediated by the glucocorticoid receptor function in a patient in need of such treatment, the method comprising administering to the patient an effective amount of a pharmaceutically acceptable compound according to claim 1, or a tautomer, prodrug, solvate, or salt thereof.

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19. A method of treating a disease-state or condition selected from: type II diabetes, obesity, cardiovascular diseases, hypertension, arteriosclerosis, neurological diseases, adrenal and pituitary tumors, and glaucoma, in a patient in need of such treatment, the



method comprising administering to the patient an effective amount of a pharmaceutically acceptable compound according to claim 1, or a tautomer, prodrug, solvate, or salt thereof.

20. A method of treating a disease characterized by inflammatory, allergic, or proliferative processes, in a patient in need of such treatment, the method comprising administering to the patient an effective amount of a pharmaceutically acceptable compound according to claim 1, or a tautomer, prodrug, solvate, or salt thereof.

21. The method according to claim 20, wherein the disease is selected from: (i) lung diseases; (ii) rheumatic diseases or autoimmune diseases or joint diseases; (iii) allergic diseases; (iv) vasculitis diseases; (v) dermatological diseases; (vi) renal diseases; (vii) hepatic diseases; (viii) gastrointestinal diseases; (ix) proctological diseases; (x) eye diseases; (xi) diseases of the ear, nose, and throat (ENT) area; (xii) neurological diseases; (xiii) blood diseases; (xiv) tumor diseases; (xv) endocrine diseases; (xvi) organ and tissue transplantations and graft-versus-host diseases; (xvii) severe states of shock; (xviii) substitution therapy; and (xix) pain of inflammatory genesis.

22. The method according to claim 21, wherein the disease is selected from: type I diabetes, osteoarthritis, Guillain-Barre syndrome, restenosis following percutaneous transluminal coronary angioplasty, Alzheimer disease, acute and chronic pain, atherosclerosis, reperfusion injury, bone resorption diseases, congestive heart failure, myocardial infarction, thermal injury, multiple organ injury secondary to trauma, acute purulent meningitis, necrotizing enterocolitis, and syndromes associated with hemodialysis, leukopheresis, and granulocyte transfusion.

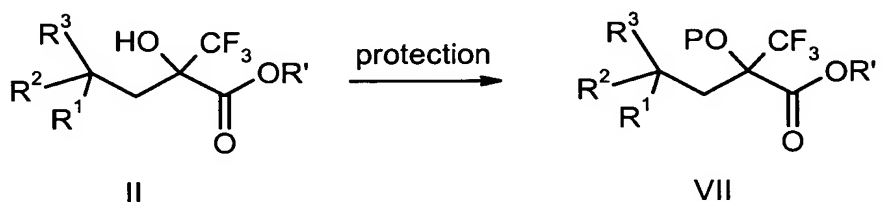
23. A method of treating a disease-state or condition mediated by the glucocorticoid receptor function in a patient in need of such treatment, the method comprising sequentially or simultaneously administering to the patient: (a) an effective amount of a pharmaceutically acceptable compound according to claim 1 or a tautomer, prodrug, solvate, or salt thereof; and (b) a pharmaceutically acceptable glucocorticoid.

24. A kit for the *in vitro* diagnostic determination of the glucocorticoid receptor function in a sample, comprising:

- 5 (a) a diagnostically effective amount of a compound according to claim 1 or a tautomer, prodrug, solvate, or salt thereof; and
- (b) instructions for use of the diagnostic kit.

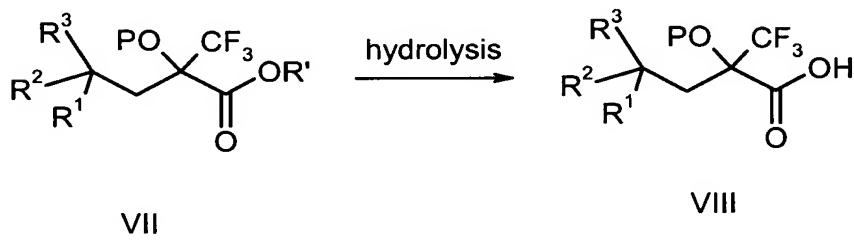
25. A method of making a compound of Formula (I) according to claim 1, said method  
10 comprising:

- (a) protecting the hydroxyl group of the compound of Formula (II) to provide the compound of formula (VII) wherein P is a hydroxyl protecting group:



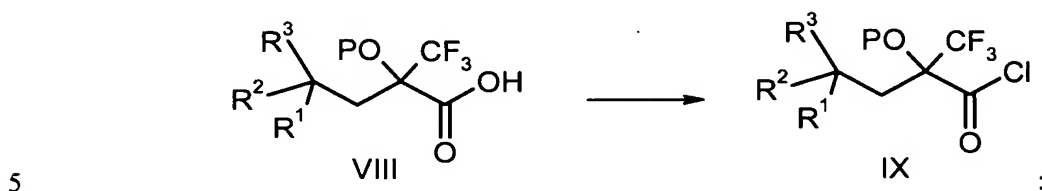
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- (b) hydrolyzing the ester group of the compound of Formula (VII) to provide the compound of Formula (VIII):

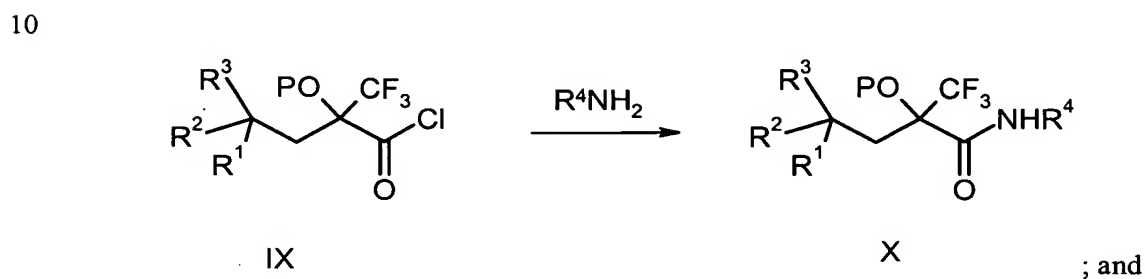


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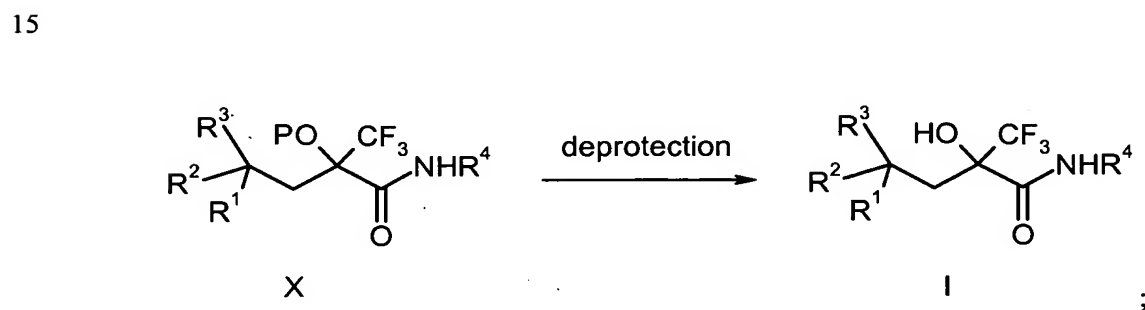
- (c) converting the compound of Formula (VIII) to the acid chloride of Formula (IX) by reacting Formula (VIII) with a suitable chlorinating agent:



- (d) reacting the compound of Formula (IX) with the amine compound  $R^4NH_2$  in the presence of a suitable base to provide the compound of Formula (X):



- (e) deprotecting the hydroxyl group in the compound of Formula (X) to provide the compound of Formula (I):

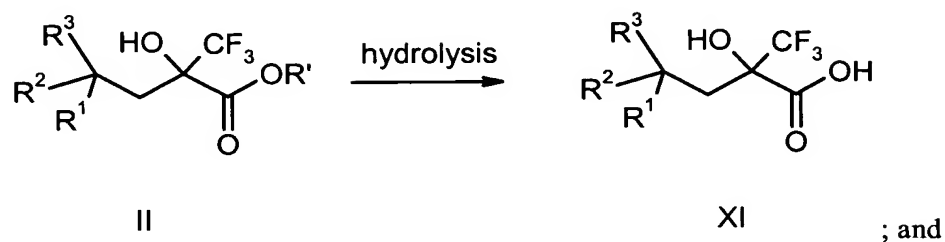


20 wherein  $R^1$ ,  $R^2$ ,  $R^3$  and  $R^4$  are as defined in claim 1, and  $R'$  is methyl or ethyl.

26. A method of making a compound of Formula (I) according to claim 1, said method comprising:

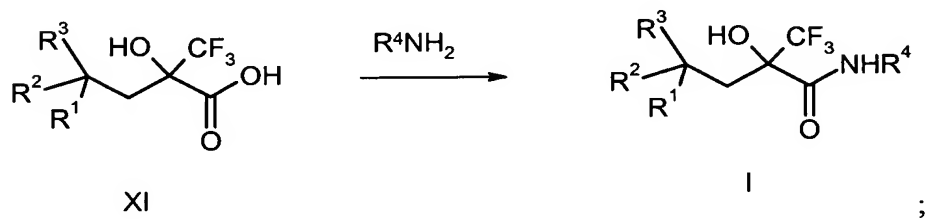
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(a) hydrolyzing the ester group of the compound of Formula (II) to provide the compound of Formula (XI):



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(b) coupling the compound of Formula (XI) with the amine compound  $\text{R}^4\text{NH}_2$  to provide the compound of Formula (I):



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wherein  $\text{R}^1$ ,  $\text{R}^2$ ,  $\text{R}^3$  and  $\text{R}^4$  are as defined in claim 1, and  $\text{R}'$  is methyl or ethyl.

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